

Begin

REEL # 252

Kost, A.N.

ZOLOTAREV, Ye.Kh., KOST, A.N., FEDDER, M.L., YUDIN, L.G., URGENSON, I.A.

Measures for human protection against rat flea attacks. Nauch.dokl.
vys.shkoly;biol.nauki no.1:44-45 '58 (MIRA 11:8)

1. Predstavlena kafedrami entomologii i organicheskoy khimii
Moskovskogo gosudarstvennogo universiteta im. M.V. Lomonosova i
TSentral'nym nauchno-issledovatel'skim dezinfektsionnym institutom
Ministerstva zdavcokhraneniya SSSR.
(INSECT BAITS AND REPELLENTS)
(F.W.S)

AUTHOR: Kost, A. N.

SOV/156-58-1-30/46

TITLE: On the Synthesis of Amines According to the Method Developed by Leukart (O sinteze aminov po metodu Leykarta)

PERIODICAL: Nauchnyye doklady vysshey shkoly, Khimiya i khimicheskaya tekhnologiya, 1958, Nr 1, pp. 125 - 129 (USSR)

ABSTRACT: The tests carried out by the author (Ref 1) and other investigators have shown that the Leukart (Leykart)-reaction is accelerated by an addition of an excess of acid. Primary-amine (as a formic derivative) is formed for the most part in this reaction. A presumptive scheme of the process is given. After an addition of sodium-formate or urea, the reaction also is somewhat accelerated, but leads for the most part to the secondary amine. The reduction of the formed formamido-carbinols takes different courses. The fact that the Leukart-reaction is in many cases accelerated by the addition of dehydrating substances, made presumable the formation of intermediate products, viz. of imines, or, if impossible, of enamines. Both of them can be easily reduced by formic acid (Ref 12). Formamido carbinol is possibly converted into ether by means of formic acid. The developing formate splits off CO₂ when being heated (especially

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On the Synthesis of Amines According to the Method
Developed by Leukart

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readily in the presence of a catalyst). In this very way (according to the given scheme) the process takes place with the reduction of di- and triaryl carbinols by formic acid (Ref 3). The rôle of the dehydrating substance is limited to facilitate the conversion into ether. In the present report the author has carried out the Leukart-reaction by using skeleton nickel. Skeleton cobalt which acts somewhat more energetically was used for comparison. Indications (Ref 4) to a steric tendency of the Leukart(Loykart) reaction are found in literature. In connection with this, the author carried out the hydramination of 3-methyl-cyclohexanon and of 1-methyl-cyclohexene-1-on-3. In the presence of catalysts(if the process proceeds rapidly) a marked prevalence of either a cis- or a trans-methyl-cyclohexyl-amine could not be found. Dicyclo-hexyl amine is often contaminated by the admixture of formic-cyclo-hexyl amine in spite of a several hours' boiling with concentrated hydrochloric acid. The cause for this might be the reversibility of the reaction. R.S.Sagitullin assisted in the experiments. There are 12 references, 5 of which are Soviet.

Card 2/3

On the Synthesis of Amines According to the Method
Developed by Leukart

SOV/156-58-1-30/46

ASSOCIATION: Kafedra organicheskoy khimii Moskovskogo gosudarstvennogo
universiteta im. M.V.Lomonosova (Chair of Organic Chemistry at
the Moscow State University imeni M.V.Lomonosov)

SUBMITTED: September 30, 1957

Card 3/3

DVORETSKAYA, Ye.I.; KOST, A.N.; PYRINA, I.L.

Effect of some hydrazine derivatives on the causative agents of
tomato-leaf mold (*Cladosporium fulvum* Cooke). Nauch. dokl. vys. shkoly;
biol. nauki no.2:115-124 '58. (MIRA 11:10)

1. Predstavlena kafedra fiziologii rasteniy i organicheskoy khimii
Moskovskogo gosudarstvennogo universiteta im. M.V. Lomonosova.
(Tomatoes--Diseases and pests) (Acetone) (Pyridazone)

5(3)

AUTHORS: Yudin, L.G., ~~Kost, A.N.~~, Zolotarev, Ye, Kh., SOV/55-58-2-22/35
and Mirza, A.N.

TITLE: Some Derivatives of the Tetrahydroquinoline and Their Effect
on Plant-Lice (Nekotoryye proizvodnyye tetrogidrokhinolina
i ikh deystviye na tley)

PERIODICAL: Vestnik Moskovskogo Universiteta, Seriya matematiki, mekhaniki,
astronomii, fiziki, khimii, 1958, Nr 2, pp 169-176 (USSR)

ABSTRACT: Several combinations from the series of the 1,2,3,4 - tetra-
hydroquinoline were synthetically obtained. In a con-
centration of 0,5% in an emulsion most of them are toxic for
plant-lice and show a high mortality. Some preparations have
a highly caustic effect on plants.
There are 12 references, 5 of which are Soviet, 4 American,
and 3 German.

ASSOCIATION: Kafedra organicheskoy khimii i kafedra entomologii
(Chair of Organic Chemistry and Chair of Entomology) [Moscow Univ.]

SUBMITTED: April 3, 1957

Card 1/1

AUTHORS: ~~Kost, A. N.~~, Busev, A. I.,
Grandberg, I. I., Byr'ko, V. M.

SOV/156-58-2-37/48

TITLE: The Dithiocarbamates of the Pyrazoline Series (Ditiokarbamaty pirazolinovogo ryada) Their Synthesis and Investigation as Analytic Reagents (Sintez i izucheniye ikh kak analiticheskikh reagentov)

PERIODICAL: Nauchnyye doklady vysshey shkoly. Khimiya i khimicheskaya tekhnologiya, 1958, Nr 2, pp. 349 - 353 (USSR)

ABSTRACT: The first mentioned salts are widely used in analytic chemistry (Refs 1-3). The acids from pyrrolidine and piperidine synthesized are stable in acid media and in the case of heating and have a somewhat higher selectivity than others. The comparatively simple new production methods of the pyrazolines (Refs 4-7) enabled the authors to carry out the synthesis of the dithio-carbamic acids of the pyrazoline series (1-dithio-carboxy-pyrazoline). These acids were isolated as sodium salts. They crystallize well, are stable in dry state and well soluble in water as well as in alcohol. The aqueous solutions of these salts give an alkaline reaction; in

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The Dithiocarbamates of the Pyrazoline Series. Their
Synthesis and Investigation as Analytic Reagents

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the case of an acidification, however, a decomposition takes place, since the corresponding dithio carbamic acids are not stable. A new method due to Fedoseyev (Ref 9) was used here, since an elementary analysis of the sodium salts by means of usual methods does not yield good results (e.g. Ref 8). The products of the ethylation of cyanogen have a distinct melting temperature and may therefore serve for the identification of the substances. 10 (I - X) compounds were synthesized and investigated as analytic reagents. Absorption spectra taken for the sodium salts and the stability in aqueous solutions were investigated. The solubility of some cadmium derivatives was determined by means of the method of tracer atoms. It was proved that pyrazoline dithio-carbamates separate certain groups of metal cations at different pH-values. There are 2 figures, 1 table, and 13 references, 9 of which are Soviet.

Card 2/3

The Dithiocarbamates of the Pyrazoline Series. Their
Synthesis and Investigation as Analytic Reagents

SOV/156-58-2-37/48

ASSOCIATION: Kafedry organicheskoy i analiticheskoy khimii Moskovskogo
gosudarstvennogo universiteta im.M.V.Lomonosova (Chairman)
of Organic and Analytical Chemistry of the Moscow State
University imeni M.V.Lomonosov)

SUBMITTED: November 29, 1957

Card 3/3

5(3), 17(12)

AUTHORS:

Terent'yev, A. P., ~~Kost~~, A. N., Zolotarev, SOV, 153-58-4-9/22
Ye.Kh, Vinogradova, Ye. V., Kalakutskaya, T. V., Yurgenson,
I. A.

TITLE:

I.The Esters of Tetrahydro-Phthalic Acid and Its Homologs
as Insect Repellents (I.Efiriy tetragidroftalevoy kisloty
i yeye gomologov kak insektorepellenty)

PERIODICAL:

Investiya vysshikh uchebnykh zavedeniy. Khimiya i khimiches-
kaya tekhnologiya, 1958, Nr 4, pp 55 - 60 (USSR)

ABSTRACT:

Although the insect repellents have been more and more
applied so far and thousands of individual preparations
have been tested, neither the relation between their
structure and efficiency nor their mechanism of
efficiency have been definitely clarified. For these
reasons the search for new means was often unsuccessful,
whereas hardly a few of the thousands of tested sub-
stances were practically used. Dimethyl phthalate is
the most carefully investigated and practically most
applied repellent. Yet it is not efficient in any case,
and large-scale use of it is limited by raw material

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I. The Esters of Tetrahydro-Phthalic Acid and Its
Homologs as Insect Repellents

SOV/153-58-4-9/22

scarcity. The authors synthesized other prospective repellents: "Indolon", "Rudzhers-612" (in the USSR RP -52) and "Dimelon" (RP -50), which had the same effect as or a weaker effect than dimethyl phthalate on various mosquito species. RP -50 was a little more active than others. Therefore the authors investigated, according to the structural analogy, a series of esters of the tetrahydro phthalic acid (RP -1, RP -2, RP -5, RP -17, RP -20, RP -23, RP -33 and RP -51). Dimethyl, diethyl and dibutyl phthalate were used for comparison. The compounds investigated are related in structure to dimethyl phthalate, but differ by their lack of aromatic bonds in the 6-membered ring. Diene hydrocarbons and maleic anhydride, which are easily obtained by benzene or furfural-oxidation, were the raw materials used for that purpose. In summer of 1954, Ye.Kh.Zolotarev and N.A. Tamarina investigated at the Belomorskaya biologicheskaya stantsiya MGU (White Sea Biological Station of the university mentioned in the title) the effect of individual preparations on mosquitoes *Aedes communis* and *Ae. dorsalis* and cerato-

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I. The Esters of Tetrahydro Phthalic Acid and Its Homologs as Insect Repellents

SOV/153-58-4-9/22

pogonides of the species Culicoides. At the Ryazenskiy meditsinskiy institut imeni I.P.Pavlova (Ryazan' Medical Institute imeni I.P.Pavlov) it was found that a narcotic effect (fusel-oil drunkenness) is exercised by the dibutyl esters upon rats and rabbits. Large-scale tests in 1956 showed that the preparations **RP-1** and **RP-50** protect efficiently against the mosquitoes: *Aedes vexans*, *A. maculatus*, *A. excrucians*, *A. Cyprius*, *A. cataphylla*, *A. punctator*, *A. communis*, *A. cinereus*, *A. dorsalis*, and *Anopheles bifurcatus*. A table shows the comparative efficiency of individual repellents. It results from this that the repellents **RP-1**, **RP-17** and **RP-51**, which were investigated for the first time, are equal to dimethyl phthalate with respect to their efficiency. The efficiency degree of various mixtures of these compounds was not higher. Further investigations would be necessary only of **RP-44** (dimethyl phthalate with diethyl adipate), **RP-46** (the same with dibutyl sebacinate) and **RP-47** (the same with arisole), since they are a little longer efficient against mosquitoes. All preparations

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I. The Esters of Tetrahydro Phthalic Acid and Its
Homologs as Insect Repellents

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were investigated as to their acidity, which causes skin irritation, as is known. It was found that the introduction of a methyl or methylene group into the structure of the dimethyltetrahydro phthalate does not exert considerable influence upon the activity of the preparation. Admixtures were supplied by P.A.Moshkin, Corresponding Member, Academy of Sciences, USSR, and V.I.Lyubomilov, Candidate of Chemical Sciences. There are 1 table and 18 references, 5 of which are Soviet.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet im. M.V.Lomonosova
(Moscow State University imeni M.V.Lomonosov) Kafedra
organicheskoy khimii i kafedra entomologii (Chair of
Organic Chemistry and Chair of Entomology)

SUBMITTED: November 2, 1957
Card 4/4

AUTHORS: Kost, A.N., Yerшов, V.V. (Moscow)

74-27-4-3/8

TITLE: ~~Synthesis and Properties of Pyrazolines~~ (Sintez i svoystva pirazolinov)

PERIODICAL: Uspekhi Khimii, 1958, Vol. 27, Nr 4, pp. 431-458 (USSR)

ABSTRACT: During recent years cyclic hydrazine derivatives have been subjected to a particularly intense investigation. This includes also the Δ^1 -pyrazolines with binary binding between the nitrogen atoms as well as the not substituted Δ^2 -pyrazolines with binary binding between nitrogen- and carbon atoms, and 1-substituted Δ^2 -pyrazolines. The present report deals especially with nitrogen-substituted Δ^2 -pyrazolines: The methods of synthesization, the reaction of hydrazines with unsaturated α , β -aldehydes and ketones, as β , γ , - or γ , δ -unsaturated aldehydes and ketones under the influence of hydrazine produce only hydrazones and azines. The report further deals with the reaction of hydrazines with β -substituted ketones, with the reaction of hydrazines with β -halide ketones, the reaction of hydrazines with β -aminoketones (in which connection it must be pointed out that recently various β -aminoketones, owing to the further development of the

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Synthesis and Properties of Pyrazolines

74-27-4-3/8

Mannich reaction (reaktsiya Mannikha) have been counted among the easily accessible compounds). Mention is made of the works by Nisbets (Ref 92), Babayan and Gambaryan (Ref 99), as well as by Kost and Yershov. There follows a description of a compound of aliphatic diazocompounds with pyrazolines which are formed (by a binary carbon-carbon linkage); furthermore, a description is given of the reduction of nitrogen-containing compounds. The following chapter deals with the production of pyrazolines from nitrils (action of aryl hydrazines upon unsaturated nitrils). There follows a description of the properties of pyrazolines: of their oxidation, reduction, alkylation, azylation and arylation. Moreover, the decomposition of pyrazolines is discussed (reaction according to Kizhner). In conclusion the report deals with pyrazoline-substituted compounds: 4-bromopyrazoline easily separates the bromine-hydrogen molecule (during boiling of the sodium acetate solution), so that pyrazoles with a good yield are obtained. Further possibilities are mentioned as e.g. that 5-nitropyrazolines separate nitrogenous acid, on which occasion pyrazoles are formed under the effect of hydrochloric acid; it is further said that in 5-bromine-5-nitropyrazolines nitropyrazol and in acid media bromopyrazol are formed under alkaline action. Further methods of obtaining

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Synthesis and Properties of Pyrazolines

74-27-4-3/8

pyrazoline derivatives are described as e.g. from 3-nitropyrazolines, 5-oxypyrazolines, 4-aminopyrazolines in cis form, 3-pyrazoline carboxylic acids. In conclusion a short survey is given of the physiological effect produced by pyrazolines: A number of 1,5-diaryl-3-dialkylaminoethyl-pyrazolines have analgesic properties; 1-phenyl-3-aminopyrazoline retards the growth of tubercles (in dilution 1 : 1 million). The application of pyrazolines and their derivatives in practice has hitherto not had the attention it deserves. There are 227 references, 50 of which are Soviet.

1. Hydrazine--Synthesis

Card 3/3

Kost, A.N.
AUTHORS: Kost, A. N., Grandberg, I. I., Yevreinova, E. B. 79-2-51/64

TITLE: On the Reaction of Hydrazine Derivatives
(Reaktsii proizvodnykh gidrazina).
XVIII. On the Effect of the Acid Agent on Azines
(XVIII. O deystvii kislotnykh agentov na aziny).

PERIODICAL: Zhurnal Obshchey Khimii, 1958, Vol. 28, Nr 2, pp. 512-518
(USSR)

ABSTRACT: The descriptions of the effect of the acyl halides on azines are very contradictory. The results obtained by Minnni et al. (ref. 5), Lochte et al. (ref. 6) and Benary (ref. 7) cannot be brought in line. Benary's observation of the transformation of cyclohexane azine into octahydrocarbazole was confirmed, however, it was not possible to use the reaction for other azines. A compound with the melting point 236°C was obtained from acetone azine with benzoyl chloride equal to that obtained by Lochte which, however, was no benzoylpyrazoline but was symmetrical to dibenzoylhydrazine. In the case of complete elimination of humidity the same reaction however, actually produces benzoylpyrazoline which, however, shows completely different properties (melting point 93°C) and was

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On the Reaction of Hydrazine Derivatives.

79-2-51/64

XVIII. On the Effect of the Acid Agent on Azines

characterized first by the authors of the present work. The cleavage of the azines under formation of the symmetry of the diacylhydrazines was observed also on the occasion of the action of benzoyl chloride on azines of the methylisopropylketone or of the cyclopentanone. Beside the usual determinations of configuration N. B. Kupletskaya (ref. 9) also put down the corresponding absorption spectra. It is stated that the occurrence of a cleavage or a cyclization depends not so much on the nature of azine and the acylating agent but on the reaction conditions. If humidity is in the reaction mass, mainly a cleavage of the azine takes place. On the occasion of cyclization of cyclopentanone azine with anhydrous formic acid the compound $C_{15}H_{22}N_2$ was obtained. According to V. A. Koptsik (Physical Faculty of Moscow State University it shows, among other, a strong piezoelectric effect. It was observed that cyclohexanoneazine reacts energetically to phosphorous tribromide and that β , β' -dibromodiethylether (due to the dioxane ring cleavage) is formed in dioxane with octohydrocarbazole.

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On the Reaction of Hydrazine Derivatives.

79-2-51/64

XVIII. On the Effect of the Acid Agent on Azines

The experimental data as well as the structural formulae of the synthesized compounds are given.

There are 3 figures, and 16 references, 8 of which are Slavic.

ASSOCIATION: Moscow State University (Moskovskiy gosudarstvennyy universitet)

SUBMITTED: February 7, 1957

AVAILABLE: Library of Congress

Card 3/3

AUTHORS: Kost, A. N., Gents, F.

SOV/79-28-10-29/60

TITLE: Reactions of the Hydrazine Derivatives (Reaktsii proiz-
vodnykh gidrazina) XIX. Condensations of 4-Amino (1,2,4)-
Triazole With Esters (XIX. Kondensatsii 4-amino-(1,2,4)-
triazola so slozhnymi efirami)

PERIODICAL: Zhurnal obshchey khimii, 1958, Vol 28, Nr 10,
pp 2773 - 2779 (USSR)

ABSTRACT: As the oxytriazole pyridazines with the cations of some
metals can yield complex compounds the authors of
this paper synthesized a number of these compounds
(Refs 5,6). They mixed the corresponding keto ester with
the 4-amino triazole and heated the mixture at 180-200°
for 20-30 minutes, with the alcohol and the water being
driven off. In a too energetic process, as is the case
with the 2-carbethoxy cyclopentanone (under the
formation of compound (III)) xylene, toluene or benzene
were added and the azeotropic mixture was slowly driven
off. It is interesting to learn that the yield depends
on the velocity of heating. In the case of a slow heating

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Reactions of the Hydrazine Derivatives. XIX.
Condensations of 4-Amino-(1,2,4)-Triazole With Esters

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a resinification (Reaction Scheme 2) occurs. In the case of the 2-carbethoxy cyclohexanone no condensation products are obtained. The condensation of the amino triazole with the α -formyl phenyl acetate also failed. Based on the results obtained the condensation of amino triazole was carried out with malonic ester. As it was not expected, also an excess malonic ester with two molecules amino triazole enters reaction and forms the N,N'-di-(1,2,4-triazolyl-4)-malonamide (X). Cyclization products at the expense of the hydrogen atoms of the triazole nucleus could not be found. The structure of the diamide (X) was proved by the infrared absorption spectrum. The acetic solution of this diamide precipitates the ions Cu^{2+} , Ni^{2+} and Co^{2+} , but not Al^{3+} , Cd^{2+} , Bi^3 and Th^{4+} from the aqueous solution in the presence of sodium acetate. No precipitation takes place in alkaline medium. This reaction does not take place so easily with ethyl malonic ester under the formation of compound (XI) (15,2% yield) and almost not at all with butyl malonic ester. In the reaction of

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Reactions of the Hydrazine Derivatives. XIX.

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Condensations of 4-Amino-(1,2,4)-Triazole With Esters

amino triazole with diethyl oxalate the compound (XII) was obtained. This diamide precipitates the ions Cu^{2+} , Mg^{2+} and Cd^{2+} in alkaline medium in the presence of sodium tartrate, and in acetate medium the ions Cu^{2+} , however, not Al^{3+} and Fe^{3+} . The cyanethylation of 4-amino triazole in alkaline medium is described. There are 14 references, 2 of which are Soviet.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State University)

SUBMITTED: September 11, 1957

Card 3/3

AUTHORS: Grandberg, I.I., Kost, A.N.

SOV/79-28-11-37/55

TITLE: Reactions of Hydrazine Derivatives (Reaktsii proizvodnykh gidrazina)
XX. Dehydration of Pyrazolines (Degidrirovaniye pirazolinov)

PERIODICAL: Zhurnal obshchey khimii, 1958, Vol 28, Nr 11, pp 3071-3075 (USSR)

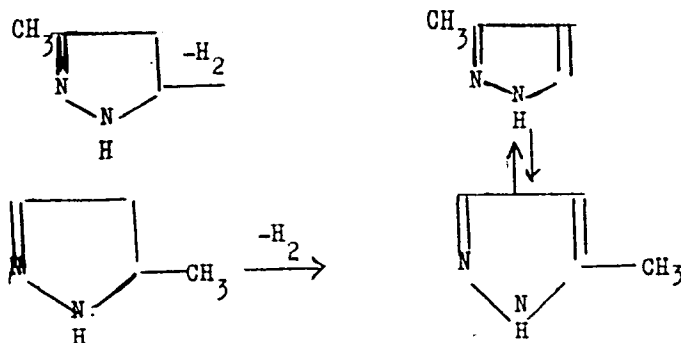
ABSTRACT: Experiments of the authors to oxidize the 4-ethyl-5-propyl- and 4-isopropyl-5-isobutyl pyrazoline failed. Various types of oxidizing agents, as selenium dioxide, nitric acid, permanganate etc. destroyed the pyrazoline cycle under the formation of many oxidation products. The N-formyl-, N-acetyl and N-benzoyl pyrazoline derivatives were not attacked by weak oxidizing agents, and by strong oxidizing agents were subjected to a similar destruction under the formation of nitrogen. In the bromination besides the oxidation also a bromination took place. The authors succeeded in smoothly realizing the transition of the pyrazolines into the pyrazoles. They used selenium, and with a still better result sulfur as dehydration medium. The reaction took place at 150-250° without side processes. It is finished as soon as no more hydrogen sulfide is formed. An excess sulfur is of no importance. In the pyrazoles there are, contrary to the pyrazolines, two conjugated double bonds with a free pair of electrons of the nitrogen atom. In the case of such a structure

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SOV/79-28-11-37/55

Reactions of Hydrazine Derivatives. XX. Dehydration of Pyrazolines

with an electron sextet in the nucleus compounds with a substituent in the position 3 prove to be identical with compounds having a substituent in the position 5. For this reason, for instance, the 3-phenyl-5-methyl pyrazole (90 %) is obtained in the hydration of the 3-methyl-5-phenyl pyrazoline. In the same way as from 5-methyl- also from 3-methyl pyrazoline one and the same 3,(5)-methyl pyrazole was obtained (75 and 90 %):



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SOV/79-28-11-37/55

Reaction of Hydrazine Derivatives. XX. Dehydration of Pyrazolines

Four of the synthesized pyrazoles (yield: 65-90 %) have hitherto not been described. The proposed method can be easily employed and may serve as preparatory synthesis of alkyl and aryl pyrazoles. There are 24 references, 9 of which are Soviet.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State University)

SUBMITTED: November 2, 1957

APPROVED FOR RELEASE: 06/14/2000

CIA-RDP86-00513R000825210001-2"

TITLE:

The Effect of Pyrazole Derivatives Upon the Central Nervous System (Deystviye proizvodnykh pirazola na tsentral'nyu nervnyu sistemu)

PERIODICAL:

Doklady Akademii nauk SSSR, 1958, Vol 123, Nr 1, pp 200 - 203 (USSR)

ABSTRACT:

The pharmacological properties of pyrazole derivatives have hardly been explored. The analgesic, antipyretic and antiphlogistic effects of 1-phenyl-pyrazolone-5- and 1,2-diphenyl-pyrazolidindion-3,5 (antipyrine, pyramidon, butadion) are well known. Methods developed in recent years of pyrazole synthesis, among others by dehydration of pyrazolines by means of sulphur (Ref 4), have made these compounds more accessible. For instance, 3-methyl-5-phenyl-pyrazole has proved efficient as a sedative and soporific. 3-phenyl-pyrazole has similar effects. The difference in effects between these two substances bases upon a more distinct decrease of muscle tone before quieting by the latter substance. The substances mentioned are hardly toxic. The fatal dose per os amounts

The Effect of Pyrazole Derivatives Upon the Central
Nervous System

SOV/20-123-1-54/56

to 500 mg/kg with mice, and 1800 mg/kg with rats. The effect of 3-methyl-5-phenylpyrazole was studied in greater detail. The test results showed that the latter substance has a very distinct effect upon the nervous system. This effect is quieting, soporific, antispasmodic and antipyretic. At present it is not yet certain whether this substance compares in its way of acting with the known pharmacological groups (barbiturate, reserpine, aminazine, and others), or whether it has special effects not yet described. In any case this preparation needs more intensive investigations in order to clarify the possibilities of its application in medicine. This is also true of pyrazole derivatives. In a chapter on experiments the method of production of the substance mentioned is described. There are 3 tables and 7 references, 4 of which are Soviet.

ASSOCIATION: Vsesoyuznyy nauchno-issledovatel'skiy khimiko-farmatsevticheskiy
institut im. S. Ordzhonikidze (All-Union Scientific Chemical
Pharmaceutical Institute imeni S. Ordzhonikidze) Moskovskiy
gosudarstvennyy universitet (Moscow State University)

Card 2/3

KOST, A.N.; PERSHIN, G.N.; YERSHOV, V.V.; MILOVANOV, S.N.; YEVREINOVA,
E.B.

Reactions of hydrazine derivatives. Part 23: 1-acylpyrazolines
and their action on pathogenic micro-organisms. Vest.Mosk.un.
Ser.mat., mekh., astron., fiz., khim. 14 no.1:211-216 '59.

(MIRA 13:8)

1. Kafedra organicheskoy khimii i Vsesoyuznyy nauchno-issledovatel'skiy
khimiko-farmatsevticheskiy institut im. S. Ordzhonikidze.
(Pyrazoline) (Micro-organisms, Pathogenic)

KOST, A.N., SAGITULLIN, R.S.

Reactions of hydrazine derivatives. Part 22: 1-Benzylpyrazolone.
Vest.Mosk.un.Ser.mat., mekh., astron., fiz., khim. 14 no.1:
225-228 '59. (MIRA 13:8)

1. Kafedra organicheskoy khimii Moskovskogo universiteta.
(Pyrazolinone)

KOST, A.N.; SHUMAKOVA, A.A.; KOZLOVA, Ye.I.; GRANDBERG, I.I.

Reactions of hydrazine derivatives. Part 26: Fungicidal action of pyridazines and hydrazones. Vest.Mosk.un.Ser.mat., mekh., astron., fiz., khim. 14 no.3:205-211 '59.

(MIRA 13:5)

1. Kafedra organicheskoy khimii, kafedra mikrobiologii i laboratoriya fitotoksikologii Vsesoyuznogo instituta zashchity rasteniy.

(Hydrazones) (Pyridazines) (Fungicides)

KOST, A.N.; NETTE, I.T.; POMORTSEVA, N.V.

Effect of phenols on micro-organisms which destroy crude and
vulcanized rubber. Vest.Mosk.un.Ser.mat., mekh., astron., fiz.,
khim. 14 no.3:213-220 '59. (MIRA 13:5)

1. Kafedra organicheskoy khimii i kafedra mikrobiologii Moskov-
skogo gosudarstvennogo universiteta.
(Phenol) (Bacteria)

SAGITULLIN, R.S.; KOST, A.N.

Reactions of hydrazine derivatives. Part 25: Action of
acetaldehyde and polyphosphoric acid on acylhydrazones. Vest.
Mosk.un.Ser.mat., mekh, astron, fiz, khim. 14 no.4:187-193 '59.
(MIRA 13:8)

1. Kafedra organicheskoy khimii Moskovskogo universiteta.
(Acetaldehyde)
(Phosphoric acid)
(Hydrazones)

KOST, A.N.; TERENT'YEV, P.B.; BYR'KO, V.M.

Hexamethylenedithiocarbamic acid and its derivatives. Vest.Mosk.
un.Ser.mat., mekh.astron.fiz.khim. 14 no.4:195-198 '59.
(MIRA 13:8)

1. Kafedra organicheskoy khimii Moskovskogo universiteta.
(Carbamic acid)

5(3)

AUTHORS:

Kost, A. N., Grandberg, I. I.

SOV/74-28-8-2/6

TITLE:

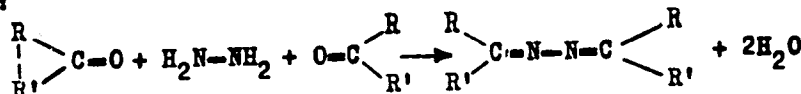
Aldazines and Ketazines (Al'd- i ketaziny)

PERIODICAL:

Uspekhi khimii, 1959, Vol 28, Nr 8, pp 921-947 (USSR)

ABSTRACT:

In the present survey the author lists those publications of recent years in which the synthesis and analysis of the properties of azines is discussed. This relatively simple class of organic compounds, which has been known for a long time, has nevertheless not yet been fully investigated. References 1 - 69 deal with the different applications of azines. The most important and, in fact, only practicable method of synthesis of azines is the interaction of two molecules of a carbonyl compound and a hydrazine molecule by which water is separated out:



(Refs 10, 16, 22, 25, 28, 37, 42-44, 46, 61, 70-171). Relevant publications also contain data on other types of formation of azines. As a rule, however, these are of no practical value

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Aldazines and Ketazines

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for the purpose of synthesizing azines. Some of them are of theoretical interest (Refs 8, 43, 55, 77, 92, 95, 97, 98, 110, 140, 149, 172 to 213). Aldazines and ketazines of the aromatic and alicyclic series are mostly crystalline substances with a yellowish coloring. The lowest aldazines and ketazines of the aliphatic series, containing up to 16 carbon atoms, are liquids with a weakly yellowish-greenish coloring and a typical sweet scent. Data concerning the physical properties of aldazines and ketazines are given in references 50, 81, 83, 89, 94, 109, 127, 167, 185, 205, 211, 214 to 236. The double bond between carbon and nitrogen in azines has much in common with the carbon-oxygen double bond in carbonyl compounds. This accounts for a number of properties which approach aldehydes and ketones to their azines. The formation of salts is not characteristic of aldazines and ketazines of the aliphatic and alicyclic series, since the basic properties of nitrogen atoms are not very marked in these compounds. Under the influence of acid agents azines of the aliphatic series engage in various condensation reactions, as is also the case with aldehydes and ketones. The formation of salts and complexes is discussed in references 6, 12, 37, 47, 49, 50, 56, 223, 228, 237 to 256.

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Aldazines and Ketazines

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Furthermore, examples of other chemical properties of azines are given: decomposition of azines (Refs 9, 14, 15, 20, 38, 50, 57, 66, 70-73, 83, 87, 90, 99, 100, 101, 141, 142, 167, 205, 240, 257 to 275); substitution of hydrogen atoms (Refs 42, 252, 254, 255, 276 to 280); addition on the carbon-nitrogen double bond (Refs 16, 17, 19, 21-30, 39-42, 63, 79, 88, 90, 103, 144, 153, 156, 159, 202, 203, 231, 255, 268, 281 to 323); cyclizations and regrouping with a participation of the α -hydrogen atom (Refs 8, 10, 12, 13, 31-33, 35, 36, 38, 43, 45-50, 70, 72, 115, 132, 168, 237-239, 260, 261, 268, 269, 298, 324 to 329). There are 329 references, 66 of which are Soviet.

ASSOCIATION: Khimicheskiy f-t MGU im. M. V. Lomonosova
(Dept. of Chemistry of the MGU imeni M. V. Lomonosov)

Card 3/3

AUTHORS: Kost, A. N., Grandberg, I. I., SOV/79-29-1-21/74
Terent'yev, A. P., Milovanova, S. N.

TITLE: Reactions of Hydrazine Derivatives (Reaktsii proizvodnykh gidrazina)
XXI. 1-Thiocarboxy Pyrazolines and Their Derivatives
(XXI. 1-Tiokarboksipirazoliny i ikh proizvodnyye)

PERIODICAL: Zhurnal obshchey khimii, 1959, Vol 29, Nr 1, pp 93-97 (USSR)

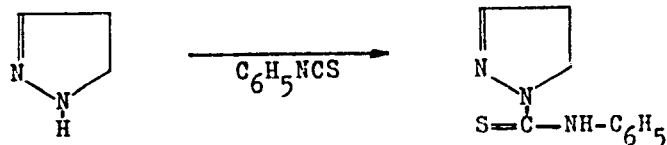
ABSTRACT: Recently, the derivatives of thiourea were used as antibiotics (Ref 1), as poisons against rodents (Ref 2), etc. The salts of the dithiocarbamic acids are frequently applied in the analytical chemistry to the separation and quantitative determination of some cations (Ref 3). The authors synthesized some phenyl thioureas of the pyrazoline series, the anilides of the 1-pyrazoline-thiocarboxylic acids (I - VIII in table 1), by the reaction of phenyl isothiocyanate with pyrazolines which possess a nitrogen atom non-substituted in position 1.

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Reactions of Hydrazine Derivatives.

SOV/79-29-1-21/74

XXI. 1-Thiocarboxy Pyrazolines and Their Derivatives



When carbon disulfide was acting in the pyrazolines, 1-pyrazoline dithiocarboxylic acids were obtained in the form of sodium salts. They are stable in dry, crystalline state. On acidification of the aqueous (alkaline!) solution a decomposition takes place, as the dithiocarboxylic acids are unstable (Scheme 2). 0.2 % aqueous solutions of the pyrazoline dithiocarbonates of sodium remain unchanged for 2-3 days, but not at a higher percentage. It was found that pyrazoline dithiocarbonates form internal complex compounds with a number of metal ions, which might be interesting for analytical chemistry. Their bacterial activity was investigated. The pyrazoline dithiocarbonates obtained (IX - XVIII, Table 2) decompose at a temperature which is lower than their melting point. Therefore they passed, according to scheme 3, to the

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Reactions of Hydrazine Derivatives.

SOV/79-29-1-21/74

XXI. 1-Thiocarboxy Pyrazolines and Their Derivatives

β -cyano-ethyl ethers with distinctly marked melting point.
There are 2 tables and 11 references, 8 of which are Soviet.

ASSOCIATION: Moskovskiy gosuderstvennyy universitet i Vsesoyuznyy nauchno-issledovatel'skiy khimiko-farmatsevticheskiy institut imeni S. Ordzhonikidze (Moscow State University and All-Union Chemico-Pharmaceutical Scientific Research Institute imeni S. Ordzhonikidze)

SUBMITTED: December 2, 1957

Card 3/3

AUTHORS: Kost, A. N., Terent'yev, P. B. SOV/79-29-1-72/74

TITLE: On the Bromination of the Cyclic Ketones by Means of Dioxane Dibromide (O bromirovanii tsiklicheskikh ketonov s pomoshch'yu diokсандибромида)

PERIODICAL: Zhurnal obshchey khimii, 1959, Vol 29, Nr 1, pp 336-339 (USSR)

ABSTRACT: Recently (Ref 1) I. V. Marchinskaya and A. S. Podberezina published a paper on the bromination of the cyclic ketones by means of dioxane dibromide. The authoresses did not succeed (Refs 2,3) in obtaining monobromo-cyclohexanone wherefrom they drew the false conclusion that dioxane dibromide cannot be used in this reaction. The authors, however, state that this method was tested several times and had delivered clear results. The authoresses carried out bromination not according to a strict method: first, the brominating agent and the ketone were not taken in equimolecular quantities and the ketone was in addition to this also used for the dioxane dibromide solution which also increased the proportional quantity of the latter. Secondly, in the reaction process a temperature rise (self-heating of the solution) was permitted which also promoted the formation of the dibromo ketone. Under these conditions the

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SOV/79-29-1-72/74

On the Bromination of the Cyclic Ketones by Means of Dioxane Dibromide

formation of monobromo-cyclohexanone was not to be expected. According to L. A. Yanovskaya (Refs 2,3) the following conditions had to be observed: 1) The equimolecular amount of dioxane dibromide must be added in small portion to the solution of the ketone in ether or dioxane with simultaneous cooling and stirring. 2) In the case of bromination the ketone solution must be cooled with ice. 3) Owing to the small stability of the α -bromo ketone (formation of resin!) the solvent must be separated in nitrogen current and vacuum. The yields in monobromo-cyclohexanone amount in this case, depending on the skill of the experimentator, between 45 and 65% respectively. There are 4 Soviet references.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State University)

SUBMITTED: August 25, 1956

Card 2/2

AUTHORS: Kost, A. N., Konnova, Yu. V., SGV/79-29-2-29/71
Yershov, V. V., Rukhadze, Ye. G.

TITLE: Reactions of Hydrazine Derivatives (Reaktsii proizvodnykh gidrazina). XXII. 3-Amino-1-aryl Pyrazolines and Their Salicylal Derivatives (XXII. 3-Amino-1-arilpirazolinyy i ikh salitsilal'nyye proizvodnyye)

PERIODICAL: Zhurnal obshchey khimii, 1959, Vol 29, Nr 2, pp 498 - 502 (USSR)

ABSTRACT: It was demonstrated that 3-amino-1-phenyl pyrazoline (I), which was synthesized by the authors already earlier, disposes, like some other hydrazine derivatives, of a bactericidal activity, that is to say, it inhibits the growth of the bacilli of human tuberculosis. For this reason the authors synthesized according to Duffin and Kendall (Ref 2) several 3-amino-1-phenyl pyrazolines by reaction of α,β -unsaturated nitriles with aryl hydrazines according to the scheme mentioned. On the basis of some reactions the affiliation of the unsaturated nitrile apparently takes place in the first stage of reaction, while cyclization occurs afterwards.

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Reactions of Hydrazine Derivatives. XXII. 3-Amino-1-aryl SOV/79-29-2.25/71
Pyrazolines and Their Salicylal Derivatives

Only small amounts of unstable aminopyrazolines resulted from the synthesis of Duffin and Kendall (Ref 2), which was carried out strictly according to specifications. Hence it follows that it is more favorable not to carry out the reaction in ethyl alcohol but in the higher boiling butyl alcohol. Accordingly, sodium butylate instead of sodium ethylate was used as catalyst. These modifications of reaction permitted an increase in the yield of aminopyrazolines by 20-40% (50-80% of the theoretical one): 3-amino-1-n-tolyl pyrazoline (VI) was obtained by reaction of β -dimethyl-amino propionitrile with n-tolyl hydrazine. The most intense activity against bacilli was exhibited by 1-phenyl-3-aminopyrazoline (I). The 3-aminopyrazolines synthesized readily enter reaction with salicyl-5-bromo salicyl aldehyde and 2-oxy naphthoic aldehyde under formation of bright-colored salicyl amines. Their absorption spectra are given in the figure. Almost all salicyl aminopyrazolines offer precipitations or a green coloration with salts of trivalent iron; many of them produce characteristic precipitations with the salts

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Reactions of Hydrazine Derivatives. XXII. 3-Amino-1-aryl SOV/79-29-2-29/71
Pyrazolines and Their Salicylal Derivatives

Cu^{+2} , Ni^{+2} , Co^{+2} , Zn^{+2} , Pb^{+2} , Be^{+2} . There are 3 figures
and 6 references, 3 of which are Soviet.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State Uni-
versity)

SUBMITTED: December 16, 1957

Card 3/3

AUTHOR: Grandberg, I. I., Kost, A. N. SOV/79-29-2-62/71

TITLE: Investigation of Pyrazoles (Issledovaniye pirazolov). II. Synthesis of N-Phenylpyrazoles From the Corresponding Pyrazolines (II. Sintez N-fenilpirazolov iz sootvetstvuyushchikh pirazolinov)

PERIODICAL: Zhurnal obshchey khimii, 1959, Vol 29, Nr 2, pp 658-662 (USSR)

ABSTRACT: The authors earlier showed (Ref 1) that pyrazolines with a free NH-group dehydrogenate to pyrazoles on heating with sulphur. In the work under review this method proved to be valid also in the case of dehydrogenating N-phenylpyrazolines. They were obtained by the cyclization of α,β -unsaturated carbonyl compounds or of the Mannich bases with phenyl hydrazine. Phenyl hydrazone, which forms by the reaction of phenyl hydrazine with α,β -unsaturated ketones, cyclizes already at 80°, within 1-2 hours. In which connection the yield in pyrazolines is almost quantitative. Difficulties occur on introducing α,β -unsaturated aldehydes. The cyclization of the Mannich bases (Ref 5) with phenyl hydrazine was carried out according to the general method, i.e., by heating β -dimethylaminoketone in aqueous solution with an equimolecular amount of hydrochloric

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Investigation of Pyrazoles. II. Synthesis of
N-Phenylpyrazoles From the Corresponding Pyrazolines

SOV/79-29-2-62/71

phenyl hydrazine. The N-phenylpyrazoline separated after 3-5 hours is extracted with ether (yield 60-80 %). All N-phenylpyrazolines obtained were dehydrogenated as above, i.e. like pyrazolines with a non-substituted NH-group. Thus the dehydrogenation process by means of sulphur is not connected with the primary oxidation process on the expense of the NH-group hydrogen. Moreover, 1-phenyl-3-acetamidopyrazoline (Ref 6) was dehydrogenated, which led to 1-phenyl-3-acetamidopyrazole in a 85 % yield. The method suggested is preferable to the usual one, as the pyrazoles are obtained individually and not in the mixture. As done earlier, absorption spectra in the ultraviolet range of the synthesized pyrazoles were taken (4 Figs, Table). Spectrum analytical data agree with those contained in publications. There are 4 figures, 1 table, and 16 references, 5 of which are Soviet.

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Investigation of Pyrazoles.II. Synthesis of
N-Phenylpyrazoles From the Corresponding Pyrazolines

SOV/79-29-2-62/71

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State University)

SUBMITTED: December 29, 1957

Card 3/3

5(3)

AUTHORS:

Grandberg, I. I., Kost, A. N.

SOV/79-29-4-12/77

TITLE:

Investigation of Pyrazoles (Issledovaniye pirazolov).
III. Addition of the α , β -Unsaturated Compounds to
the Pyrazoles (III. Prisoyedineniye α , β -nepredel'nykh
soyedineniy k pirazolam)

PERIODICAL:

Zhurnal obshchey khimii, 1959, Vol 29, Nr 4, pp 1099-1104
(USSR)

ABSTRACT:

Recently a paper by G. Ya. Kondrat'yeva (Ref 1) was published which reported on the oxazoles entering the reaction of Diels-Alder in the form of dienes. The author tried to extend this reaction to the pyrazoles. The compound obtained from 3,5-dimethyl pyrazole (I) with maleic anhydride was found to behave like a dibasic-acid after opening the anhydride by means of water, and thus it was either produced by the diene synthesis (II) or formed by the reaction of the mobile hydrogen atoms in the pyrazole nucleus (III) or in the NH-group (IV) (Scheme 1). Variant (III) was to be excluded since also those pyrazoles substituted in position 4 react as readily. In order to

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Investigation of Pyrazoles. III. Addition of the SOV/79-29-4-12/77
 α , β -Unsaturated Compounds Onto the Pyrazoles

solve the problem whether the end product possesses structure (II) or (IV), the reaction cycle of scheme 2 was used. On the reaction of compound (V) with (VI) the monohydrazone resulted (VII) which was cyclized to give compound (VIII). This compound (VIII) proved to be completely identical with the product of the reaction of acrylnitrile with 3,5-dimethyl pyrazole (I). In spite of the weakly acid NH group in the pyrazole the latter affiliates acrylnitrile without alkaline catalysts. The reaction with maleic anhydride apparently takes place in the same way. It was thus shown that, in contrast with oxazole, the pyrazole, thiazole, imidazole and isoxazole do not undergo the Diels - Alder reaction. The pyrazoles with free NH groups are easily added to the activated double bond. Absorption spectra in the ultraviolet range were taken of the compounds synthesized (Fig and Table). There are 1 figure, 1 table, and 3 references, 2 of which are Soviet.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State University)
SUBMITTED: March 3, 1958
Card 2/2

5(3)

SOV/79-29-6-39/72

AUTHORS: Kost, A. N., Yudin, L. G., Terent'yev, A. P.

TITLE: Synthesis of the 9,10-Dialkyl Lilolidenes (Sintez 9,10-dialkillilolidenov)

PERIODICAL: Zhurnal obshchey khimii, 1959, Vol 29, Nr 6,
pp 1949 - 1955 (USSR)

ABSTRACT: According to reference 4 a synthesis of the lilolidenes based on the Fischer reaction was suggested. Compound (III) was synthesized from 1,2,3,4-tetrahydroquinoline by means of the nitroso compound (II); its reaction with pyrotartaric acid led to the hydrazone which with stannous chloride finally yielded lilolidene carboxylic acid. The authors used this method for the synthesis of a series of 9,10-dialkyl lilolidenes-9 according to the general scheme 1. The treatment of tetrahydroquinoline with nitrous acid was carried out by means of diluted sulphuric acid and not with hydrochloric acid (Ref 5) since in this case a regrouping of the nitroso group into position 6 is possible. The ethereal extraction of the nitroso compound was washed out with water for several times since traces of nitric and nitrous acid produce low yield. The method of reduction described in publications (Refs 4,6) leads to low yields in amine (III) since

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Synthesis of the 9,10-Dialkyl Lilolidenes

SOV/79-29-6-39/72

an elimination of the nitroso group takes place and tetrahydroquinoline forms as the main product. For this reason the reduction was carried out at 10-15° and in ethyl-instead of methyl alcohol. The amine (III) yields in this case increase to 75-80%. The 1-aminotetrahydroquinoline obtained led, in the case of heating with carbonyl containing compounds to oily hydrazones which were directly transformed into the lilolidenes. The zinc chloride used in the Fischer regrouping could not be used in the present case because of resinification and difficult purification. In the case of diluted sulphuric acid, however, the formation of the lilolidenes takes place with yields of 60-95% without resinification. The structure of the synthesized products was confirmed by the reduction of the compound (IV) into the compound (V) which was obtained by the reaction of 2,3-dimethyl indoline with trimethylene bromochloride (Scheme 2). This was also confirmed by the melting of the mixture of the two compounds without temperature decrease and by spectrum analysis. There are 1 figure and 8 references, 3 of which are Soviet.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State University)
SUBMITTED: April 14, 1958
Card 2/2

5 (3)

AUTHORS:

Kost, A. N., Sheyman, B. M.,
~~Terent'yev, A. P.~~

SOV/79-29-7-45/83

TITLE:

Synthesis With the Aid of the Nitrile of Acrylic Acid (Sintezy s pomoshch'yu nitrila akrilovoy kisloty). XXXIII. Cyanoethylation of n-Cresol (XXXIII. Tsianetilirovaniye n-krezola)

PERIODICAL:

Zhurnal obshchey khimii, 1959, Vol 29, Nr 7, pp 2310 - 2314 (USSR)

ABSTRACT:

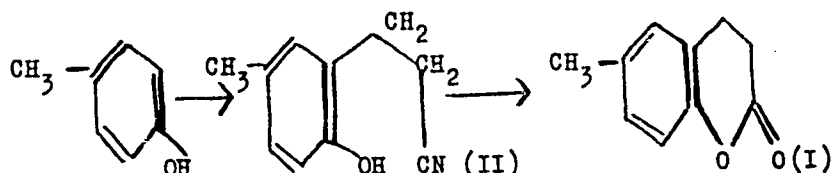
The authors investigated the synthesis of 6-alkylcoumarins, starting with the corresponding n-alkylphenols and acrylonitrile and examined the conditions necessary for the reaction of n-cresol with acrylonitrile in the presence of $AlCl_3$. No reaction took place below 10^0 . CCl_4 solution had no effect at these temperatures. In nitrobenzene solution $AlCl_3$ caused intense resinification. An equimolar amount of n-cresol and acrylonitrile without a solvent was saturated with HCl and then an equimolar amount of $AlCl_3$ added. After heating the reaction mixture for 1 hour at 80^0 the yield was 16%. Half of the product separated as 6-methyl-3,4-dihydrocoumarin (I), the other

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Synthesis With the Aid of the Nitrile of Acrylic
Acid. XXXIII. Cyanoethylation of n-Cresol

SOV/79-29-7-45/83

half, a fraction boiling at $128-133^{\circ}$ (at 10 mm), was a mixture of equal amounts of (I) and, apparently, (II), which was not obtained in pure state.



The yield did not increase on further heating and addition of more AlCl_3 and acrylonitrile. When heated for a short time to 200° a liquid fraction only was obtained, boiling at $128-133^{\circ}$ (at 10 mm). The longer period of reaction therefore leads to lactone (I). When propionitrile was used instead of acrylonitrile, molar proportions of the components being maintained, the yield of lactone (I) rose to 41.4% and 4.3% of nitrile (II) were obtained. The melting point of (I) differs from that given in publications. The compound (I) synthesized by the authors -

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Synthesis With the Aid of the Nitrile of Acrylic
Acid. XXXIII. Cyanoethylation of n-Cresol

SOV/79-29-7-45/83

contrary to the results given in reference 6 - exhibits typical
properties of 3,4-dihydrocoumarin (Scheme 2 with interpretation).
There are 11 references, 4 of which are Soviet.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State University)

SUBMITTED: June 18, 1958

Card 3/3

5(3)

AUTHORS:

Grandberg, I. I., Kost, A. N., Yaguzhinskiy, L. S.

SOV/79-29-8-17/81

TITLE:

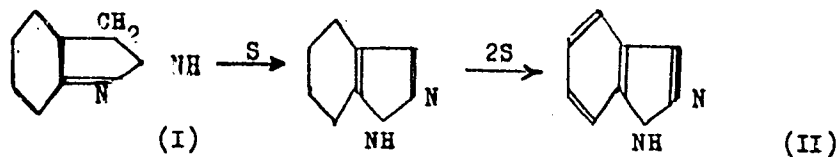
Investigation of Pyrazoles. IV. A New Method of Synthesizing the Tetrahydroindazoles and Indazoles

PERIODICAL:

Zhurnal obshchey khimii, 1959, Vol 29, Nr 8, pp 2537-2541 (USSR)

ABSTRACT:

In addition to previous papers (Refs 1,2) the authors described in the present paper the dehydrogenation of 3,4- and 4,5-tetramethylene-pyrazolines with sulfur, in which connection the dehydrogenation was found to take place first on the pyrazoline ring to form the 4,5,6,7-tetrahydroindazoles. The latter are transformed on further heating with excess sulfur into the indazoles themselves:



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SOV/79-29-8-17/81

Investigation of Pyrazoles. IV. A New Method of Synthesizing the Tetrahydro-indazoles and Indazoles

Thus the 3,4-tetramethylene pyrazoline (Ref 3) forms compound (I) in a 56% yield, and further the indazole (II). Compound (III) obtained according to scheme 2 is transformed on dehydrogenation to give the compounds (IV) and (V). The synthesis of the particularly interesting initial tetramethylene pyrazolines hitherto unknown, which are substituted in position 1, was carried out according to scheme 4. In this way compounds (VI) and (VII) resulted in good yield. In the case of phenylhydrazine the reaction proceeds under formation of different products according to the conditions. The yield in compound (VIII) was only 21%. On heating these pyrazolines (VI)-(VIII) with equimolar quantities of sulfur up to 220-270°, hydrogen sulfide develops, and the corresponding tetrahydroindazoles are formed (40-70%). After 1-1.5 hours, the evolution of H₂S stops and the reaction is finished. If the pyrazoline is not heated with 1 mole but with 3 moles of sulfur, the transformation into the indazoles is continued, but with very small yields (10-20%). Gradual dehydrogenation proved to be most useful: first up to

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Investigation of Pyrazoles. IV. A New Method of Synthesizing the Tetrahydro-indazoles and Indazoles

SOV/79-29-8-17/81

the tetrahydroindazoles which were separated and converted, on further heating with sulfur, to give the indazoles. This method yields pure products, though in small yields (26-35%). Compound (VII) undergoes complicated transformations by complete dehydrogenation. All resultant pyrazolines and pyrazoles were characterized by means of absorption spectra in ultraviolet light, and were in accordance with those described in publications (Refs 2-5). The spectra, the data of which are presented in the table, were taken by V. I. Bogomolova on the SF-4-spectrophotometer. There are 1 table and 10 references, 3 of which are Soviet.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State University)

SUBMITTED: July 11, 1958

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5.361C

75693
SOV/80-32-10-42/51

AUTHORS: Kost, A. N., Pertsov, L. D., Yudin, L. G.,
Kalinkin, S. F.

TITLE: Brief Communications. Catalytic Hydrogenation of
Quinoline

PERIODICAL: Zhurnal prikladnoy khimii, 1959, Vol 32, Nr 10,
pp 2349-2351 (USSR)

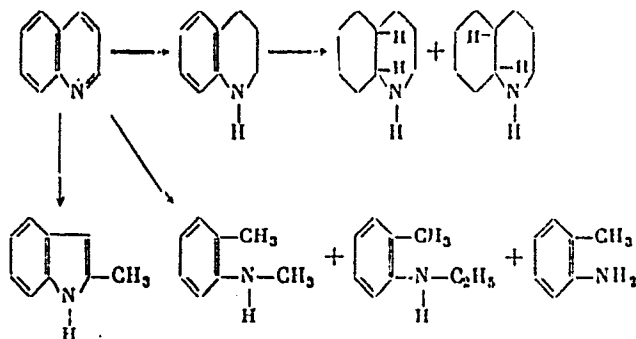
ABSTRACT: Nickel on chromic oxide is used as an industrial catalyst for the hydrogenation of quinoline. The above catalyst is very effective. The hydrogenation already starts at 90° and 80 atm pressure. Two attempts were made to hydrogenate quinoline: 1) Hydrogen was introduced into the reactor at 50 atm pressure. The reaction was carried out at 110-115° and 100 atm pressure for 10 hr. 101% of catalyzate was obtained, calculated on the starting material. After vacuum distillation 7.8% of cis- and trans-decalin and 85.3% of 1,2,3,4-tetralin were obtained.

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Brief Communications. Catalytic
Hydrogenation of Quinoline

75693

SOV/80-32-10-42/51



2) The reaction was carried out at 105-110° and at 100 atm pressure. 102.5% of catalyzate was obtained, calculated on the starting material. After distillation 96.4% of 1,2,3,4-tetralin and 2% of decalin were obtained. The residue (about 1%) was a tar-like product. There are 16 references, 7 Soviet, 4 German, 3 U.S., 1 Japanese, 1 Italian. The 3 U.S. references are: Travis, B., Morton, F., Jones, H., Robinson, J., J. Econ. Entomol., 42, 686 (1949); Gouck, H., Gilbert, J., ibid, 48, 499 (1955); Adkins, H.,

Card 2/3

Brief Communications. Catalytic
Hydrogenation of Quinoline

75693
SOV/80-32-10-42/51

Billica, H., J. Am. Chem. Soc., 70, 695 (1948).

SUBMITTED: June 9, 1958

Card 3/3

KOST, A.N.

5.3610 2209, 1375, 1153

S/152/60/000/003/002/003
B023/B060

ATTACH

Dolgoruchinskiy, A. Z. Nekhotovskiy, L. A. Lavrent'ev, V. I.
Baykov, Ye. P. Kost, A. M. Yerslov, V. V.

71745

PERIODICAL: *Izvestiya vysshikh uchebnykh zavedeniy. Seriya 1 Est. 1962.*
No. 5, pp. 67-71.

[illegible]

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derivatives of phenyl isothiocyanate of various pyrazolines were obtained by the action of phenyl isothiocyanate upon these pyrazolines (Ref. 3). In a similar manner, the following 3-substituted pyrazolines and 1-phenyl-3-substituted pyrazolines: 1-cyanato-3-phenyl pyrazoline and 1-phenyl-3-methoxy-3-phenyl-1-pyrazoline were synthesized from acrylonitrile and phenyl hydrazine (Ref. 4); 1,3,5-trimethyl pyrazolines was obtained by interaction of formaldehyde and phenyl hydrazine (Ref. 5); 1,3,5-trimethyl pyrazoline was obtained by interaction of formaldehyde and phenyl hydrazine (Ref. 6). The effectivity of the 11 preparations was estimated by comparing their inhibiting effect on the oxidation of primary aliphatic amines, benzyl- β -naphthyl phenyl. Two samples as with the effect of 1,3,5-trimethyl pyrazoline, the effect of which are given in Table I, was prepared by increasing equal amounts of fresh and aged samples of the same amine, and of the benzene-1,3-dichloro fraction. Sample A was prepared by interacting the same amounts in a ratio of 10:1 to 70:30, both samples were inhibited by various additions in the day of their preparation. The additions were allowed to dissolve in the ether by being added as benzene solutions. Benzene was then in an amount of 0.01% of the fuel volume. The effect of activity of samples A and B

Case 2/1

[illegible]

ASSOCIATION: Moskovskiy gosudarstvennyy universitet im. M. V. Lomonosova
(Moscow State University) and M. V. Lomonosov
(Gosnauy Petrolem Institute)

SUBMITTED: September 3, 1959

Card 3/3

ZOLOTAREV, Ye.Kh.; YUDIN, L.G.; KALAKUTSKAYA, T.V.; KOST, A.N.

Testing of repellents. Report No.7:219-222 '60.

(MIRA 13:12)

(QUINOLINE)

DOROGOCHINSKIY, A.Z.; NAKHAPETIAN, L.A.; LAVRENT'YEV, V.Y.; BOYKOVA, Ye.P.;
KOST, A.N.; YERSHOV, V.V.

Antioxidant properties of some derivatives of pyrazoline. Izv.
vys.ucheb.zav.; neft'i gaz 3 no.3:69-71 '60. (MIRA 14:10)

1. Moskovskiy gosudarstvennyy universitet imeni M.V.Lomonosova i
Groznenkiy nauchno-issledovatel'skiy neftyanoy institut.
(Pyrazoline)

KOST, A.N.; KUDRIN, A.N.; TEREENT'YEV, P.B.; YERSHOV, V.V.

Hexamethylenimine ketones, a new class of local anesthetics. Vest.
Mosk.un.Ser. 2: Khim. 15 no.3:66-69 My-Je '60. (MIRA 13:8)

1. Kafedra organicheskoy khimii i kafedra farmakologii Ryazanskogo
meditsinskogo instituta.

(Ketones) (Hexamethylenimine) (Anesthetics)

KOST, A.N.; PEDDER, M.L.; KALAKUTSKAYA, T.V.; BURINOVA, L.I.;
ZOLOTAREV, Ye.Kh.

Repellents. Part 8: Insect-repellent effect of some esters and
glycols. Vest.Mosk.un.Ser. 2: Khim. 15 no.3:70-74 My-Je
'60. (MIRA 13:8)

1. Kafedra organicheskoy khimii i entomologii Moskovskogo
universiteta, Tsentral'nyy nauchno-issledovatel'skiy institut
dezinfektsii i Vsesoyuznyy nauchno-issledovatel'skiy institut
plasticheskikh mass.

(Insect baits and repellents)
(Phthalic acid)

SHEYMAN, B.M.; KOST, A.M.

Cyanoethylation of the nucleus of β -alkylphenols. Vest. Mosk. un.
Ser. 2: Khim. 15 no.5:65-68 S-0 '60. (MIRA 13:11)

1. Moskovskiy gosudarstvennyy universitet, kafedra khimicheskoy
tekhnologii i organicheskoy khimii.
(Phenols) (Cyanoethylation)

BERLIN, Yu.A.; KOST, A.N.

Δ^3 -Piperidine. Usp.khim. 29 no.2:220-233 P '60.
(MIRA 13:6)

1. Khimicheskiy fakul'tet Moskovskogo gosudarstvennogo
universiteta imeni M.V. Lomonosova.
(Pyridine)

5.3610

77383

SOV/79-30-1-44/78

AUTHORS: Grandberg, I. I., Kost, A. N.

TITLE: Investigations of the Pyrazole Series. V. Synthesis of 4-Benzoylpyrazoles

PERIODICAL: Zhurnal obshchey khimii, 1960, Vol 30, Nr 1, pp 203-208 (USSR)

ABSTRACT: The known reaction of 1-phenylpyrazole with benzoyl chloride was investigated. It was established that not only 1-phenylpyrazole but also 1-alkylpyrazoles reacted easily with benzoyl chloride on heating to 190-200° C. Thus, 1,3,5-trimethylpyrazole on boiling with benzoyl chloride gave 1,3,5-trimethyl-4-benzoylpyrazole (yield 94%; bp 201° C (13 mm); its picrate had mp 135-136° C, from 80% alcohol); 1-ethyl-3,5-dimethylpyrazole gave 1-ethyl-3,5-dimethyl-4-benzoylpyrazole (yield 67%; bp 198-200° C (13 mm); its picrate had mp 106° C, from 80% alcohol); 1-benzyl-3,5-dimethylpyrazole gave 1-benzyl-3,5-dimethyl-4-

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Investigations of the Pyrazole Series.
V. Synthesis of 4-Benzoylpyrazoles

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SOV/79-30-1-44/78

benzoylpyrazole (yield 84%; bp 244-252° C (13 mm); its picrate had mp 84-85° C, from absolute ether); 1-phenyl-3,5-dimethylpyrazole gave 1-phenyl-3,5-dimethyl-4-benzoylpyrazole (yield 83%; bp 254-257° C (23 mm); mp 99-100° C, from petroleum ether; does not form a picrate). The above reactions were completed in boiling for 12 hr. The introduction of methyl groups in 3- and 5-position facilitated the reaction, as evidenced by 1-phenyl-3-methylpyrazole which on 12 hr gave 1-phenyl-3-methyl-4-benzoylpyrazole (bp 238-243° C (28 mm); mp 136° C, from petroleum ether) in only 12% yield (on heating for 30 hr, a yield of 60% was obtained); whereas 1-phenyl-3,5-dimethylpyrazole was benzoylated in 83% yield in 12 hr. Steric hindrance, or the effect of electronegative substituents, required a much higher temperature of reaction. Thus, 1-3,5-triphenylpyrazole gave 1,3,5-triphenyl-4-benzoylpyrazole on heating for 10 hr in a sealed ampoule at 270° C (yield 81%; mp 171-172° C, from petroleum ether), and 1-phenyl-3-methyl-5-chloropyrazole on

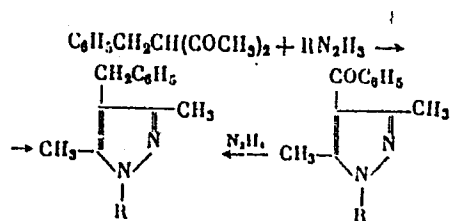
Card 2/4

Investigations of the Pyrazole Series.
V. Synthesis of 4-Benzoylpyrazoles

77383

SOV/79-30-1-44/78

heating for 8 hr in a sealed ampoule at 260-270° C gave 1-phenyl-3-methyl-4-benzoyl-5-chloropyrazole (yield 53%; bp 247-254° C (22 mm); mp 85-86° C, from petroleum ether). The last two reactions could not be effected in an open vessel. the structure of the above benzoylpyrazoles was confirmed by their reduction (Kishner method) to 4-benzylpyrazoles, and by a parallel synthesis of 4-benzylpyrazoles by condensation of 1-benzyl-1-acetylacetone with the corresponding alkylhydrazines.



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The absorption spectra of all the investigated

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5.3610

77384

SOV/79-30-1-45/78

AUTHORS: Grandberg, I. I., Kost, A. N.

TITLE: Investigation of the Pyrazole Series. VI. Synthesis of 1-Alkylpyrazoles With Asymmetric Distribution of Substituents in the Ring

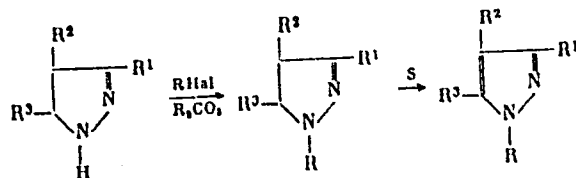
PERIODICAL: Zhurnal obshchey khimii, 1960, Vol 30, Nr 1, pp 208-212 (USSR)

ABSTRACT: A synthesis of 1-alkylpyrazoles with substituents in 3- and 4-position, and 4- and 5-position in the pyrazole ring, was developed by the authors. Pyrazolines obtained by the previously described method (ZhOKh, 1956, Vol 26, p 2319; *ibid.*, 1957, Vol 27, p 1722) were N-alkylated with alkyl bromide in the presence of K_2CO_3 , and dehydrogenated subsequently by heating with sulfur (ZhOKh, 1958, Vol 28, p 3071) to 1-alkylpyrazoles:

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Investigation of the Pyrazole Series.
VI.

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(Abstracter's note: " R_2CO_3 " should read " K_2CO_3 ").

The following 1-alkylpyrazoles were synthesized:
(1) 1-butyl-4-ethyl-5-propylpyrazole; (2) 1-propyl-3-ethyl-4-methyl-pyrazole; (3) 1-isoamyl-3-methyl-5-isopropylpyrazole; (4) 1,5-dipropyl-4-ethylpyrazole; (5) 1-isoamyl-4-ethyl-5-propylpyrazole; (6) 1-isobutyl-4-ethyl-5-propylpyrazole; (7) 1-isoamyl-4-isopropyl-5-isobutylpyrazole; (8) 1-butyl-4-isopropyl-5-isobutylpyrazole; and (9) 1,5-diisobutyl-4-isopropylpyrazole. The physical and chemical constants of the 1-alkylpyrazolines and -pyrazoles (see Table 2) are tabulated. There are 2 tables; 1 figure; and 12 references, 1

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Investigation of the Pyrazole Series
VI.

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French, 8 German, 3 Soviet.

ASSOCIATION: Moscow State University (Moskovskiy gosudarstvenny
universitet)

SUBMITTED: January 9, 1959

Card 3/4

5.3610

77877
SOV/79-30-2-28/78

AUTHORS: Kost, A. N., Golubeva, G. A.

TITLES: Reactions of Hydrazine Derivatives. XXVII. Concerning the Reduction and Alkylation of Pyrazolines

PERIODICAL: Zhurnal obshchey khimii, 1960, Vol 30, Nr 2, pp 494-497 (USSR)

ABSTRACT: Zn with HCl, organomagnesium compounds (methylmagnesium iodide, allylmagnesium bromide, benzylmagnesium bromide) and metallic sodium in butyl or ethyl alcohol, do not reduce 3,5,5-trimethyl-1-phenylpyrazoline. However, metallic sodium in butyl alcohol reacts with 1,3,5-trimethyl-1-phenylpyrazoline (I) forming anilin (56.5% yield) Analogously, from 1,3,5-triphenylpyrazoline, 1,3-diphenylpropane was obtained in 56.5% yield.

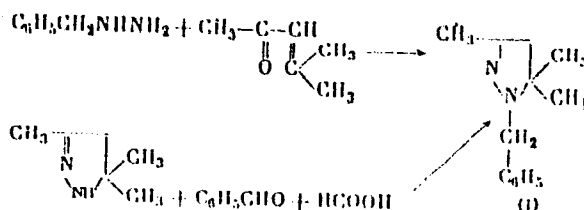
$$\begin{array}{c} \text{C}_6\text{H}_5 \\ | \\ \text{N} \\ | \\ \text{N} \\ | \\ \text{C}_6\text{H}_5 \end{array} \xrightarrow{\text{Na/C}_4\text{H}_9\text{OH}} \text{NH}_2 + \text{C}_6\text{H}_5\text{NH}_2 + \text{C}_6\text{H}_5\text{CH}_2\text{CH}_2\text{CH}_2\text{C}_6\text{H}_5$$

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Reactions of Hydrazine Derivatives.
XXVII. Concerning the Reduction
and Alkylation of Pyrazclines

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SOV/79-30-2-28/78

3,3,5-Trimethyl-1-formylpyrazoline in the presence of excess anhydrous formic acid, isobutyric and isovaleric aldehydes, and cyclopentanone, not undergo the Leuckhart reaction. The attempt to alkylate 4,4-dimethyl-5-isopropylpyrazoline with the above aldehydes was also unsuccessful. However, benzaldehyde reacts with 3,5,5-trimethylpyrazoline, forming 3,5,5-trimethyl-1-benzylpyrazoline (I), in 30% yield. There are 11 references, 6 Soviet, 1 Italian, 3 German, 1 Japanese.



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The following compounds were similarly prepared:

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	Compound	Reacted with	Product	Yield ln %	bp/pr ln mm	n_D^{20}	d_4^{20}
1	1-formyl- -5-methyl- -3,5-di- ethylpyra- zoline	benzaldehyde and HCOOH	methyl-3, 5-diethyl- -1-benzyl- pyrazoline	31	161-164/16	1.5251	0.9820
2	1-formyl- 4-isopro- pyl-5-iso- butylpyra- zoline	benzaldehyde	4-isopro- pyl-5-iso- butyl-1- -benzyl- pyrazo- line	39	182-185/13	1.5110	0.9488
3	1-formyl- -4,4-di- methyl-5- -isopropyl- pyrazoline	benzaldehyde	4,4-di- methyl- -5-isopro- pyl-1- benzylpyra- zoline	59	151-152/18	1.5092	0.9563

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The following compounds were similarly prepared:

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	Compound	Reacted with	Product	Yield in %	bp/pr	n_D^{20}	d_4^{20}
4	1-formyl- -4-ethyl- -5-propyl-- pyrazoline	benzaldehyde	4-ethyl-5- -propyl- -1-benzyl- pyrazoline	33	164-168/17	1.5089	0.9607
5	1-formyl- -4,4-di- methyl- -5-iso- propyl- pyrazo- line	cumaldehyde	4,4-di- methyl-5- -isopropyl- -1-p-iso- propylben- zylpyrazo- line	49.6	159-162/8	1.5089	0.9436
6	1-formyl- -3,5,5-tri- methylpyra- zoline	cumaldehyde	3,3,5-tri- methyl-1-p- -isopropyl- benzylpyra- zoline	48.7	157-158/7	1.5212	0.9634

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Reactions of Hydrazine Derivatives.
XXVII. Concerning the Reduction
and Alkylation of Pyrazolines

71871
SOV/79-30-2-28/78

ASSOCIATION: Moscow State University (Moskovskiy gosudarstvennyy
universitet)
SUBMITTED: January 23, 1959

Card 5/5

5.3610

77878
SOV/79-30-2-29/78

AUTHORS: Kost, A. N., Suminov, S. I., Yershov, V. V.

TITLE: Reactions of Hydrazine Derivatives. XXVIII. Cyano-
ethylation of Pyrazolines With Acrylonitriles

PERIODICAL: Zhurnal obshchey khimii, 1960, Vol 30, Nr 2,
pp 498-501 (USSR)

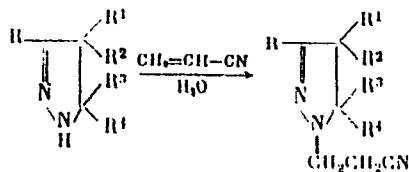
ABSTRACT: The reaction between acrylonitrile and pyrazoline in the
presence of an aqueous solution of NH_4Cl was studied.
The above reaction involves the H at N_1 .

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Reactions of Hydrazine Derivatives. XXVIII.

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- (I) $\text{R}^1 = \text{R}^2 = \text{R}^3 = \text{CH}_3$; $\text{R}^4 = \text{R}^5 = \text{H}$.
 (II) $\text{R}^1 = \text{R}^2 = \text{H}$; $\text{R}^3 = \text{CH}_3$; $\text{R}^4 = \text{R}^5 = \text{C}_2\text{H}_5$.
 (III) $\text{R}^1 = \text{R}^2 = \text{R}^3 = \text{H}$; $\text{R}^4 = \text{C}_2\text{H}_5$; $\text{R}^5 = \text{C}_3\text{H}_7$.
 (IV) $\text{R}^1 = \text{R}^2 = \text{H}$; $\text{R}^3 = \text{C}_2\text{H}_5$; $\text{R}^4 = \text{C}_3\text{H}_7$.
 (V) $\text{R}^1 = \text{R}^2 = \text{R}^3 = \text{H}$; $\text{R}^4 = \text{C}_2\text{H}_5$; $\text{R}^5 = \text{C}_3\text{H}_7$.
 (VI) $\text{R}^1 = \text{R}^2 = \text{R}^3 = \text{H}$; $\text{R}^4 = \text{C}_2\text{H}_5$.
 (VII) $\text{R}^1 = \text{C}_2\text{H}_5$; $\text{R}^2 = \text{R}^3 = \text{R}^4 = \text{R}^5 = \text{H}$.

There are 8 references, 7 Soviet, 1 German.

ASSOCIATION: Moscow State University (Moskovskiy gosudarstvennyy universitet)

SUBMITTED: February 12, 1959

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Reactions of Hydrazine Derivatives. XXVIII.

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The obtained products and their properties are given below:

Obtained Product	bp/mm pr.	Yield in %	n_D^{20}	d_4^{20}
1-(β -cyanoethyl)-3,5,5-trimethylpyrazoline (I)	120-121°/10	71.5	1.4735	0.9689
1-(β -cyanoethyl)-5-methyl-3,5-diethylpyrazoline (II)	116-119°/3	14	1.4753	0.9586
1-(β -cyanoethyl)-4-ethyl-5-propylpyrazoline (III)	121-122°/3	74.1	1.4743	0.9567
1-(β -cyanoethyl)-4,4-dimethyl-5-isopropylpyrazoline (IV)	118-119°/6	59.3	1.4702	0.9422
1-(β -cyanoethyl)-4-isopropyl-5-isobutylpyrazoline (V)	127-130°/3	63.8	1.4703	0.9274

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Reactions of Hydrazine Derivatives. XXVIII.

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1-(β -cyanoethyl)-5-phenyl- pyrazoline (VI)	175-180/8	54.8	-	-
1-(β -cyanoethyl)-3-phenyl- pyrazoline (VII)	195-205/4	70.4	-	-

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s/079/60/030/007/012/020
B001/B067

AUTHORS: Kost, A. N., Suminov, S. I., Sagitullin, R. S.,
Yershov, V. V.

TITLE: Reactions of Hydrazine Derivatives.XXIX.Cyanoethylation
of Pyrazolones 7

PERIODICAL: Zhurnal obshchey khimii, 1960, Vol. 30, No. 7, ✓
pp. 2286 - 2291

TEXT: The cyanoethylation of the pyrazolones has hitherto not been described; there are even indications (Ref. 1) that 1-phenyl-3-methyl pyrazolone does not react with acrylic nitrile. The present experiments however, show that pyrazolones readily add acrylic nitrile in the presence of alkali lyes. To render the determination of the structure easier (addition to the hydroxyl group or methylene group in position 4) pyrazolones were synthesized with a β -cyanoethyl group in position 1 or 4. For synthesizing 1-(β -cyanoethyl)-pyrazolones-5 the reaction of β -hydrazine propionitrile was made with esters of β -ketonic acids. A German and an American patent indicate that 3-methyl-1-(β -cyanoethyl)-pyrazolone-5

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Reactions of Hydrazine Derivatives.XXIX.
Cyanoethylation of Pyrazolones

S/079/60/030/007/012/020
B001/B067

and 3-phenyl-1-(β -cyanoethyl)-pyrazolone-5 may be obtained by this method (Refs. 2,3). According to the data of the present paper the reaction of β -hydrazine propionitrile with the esters of various β -ketonic acids in alcohol, under short boiling, leads to the corresponding 1-(β -cyanoethyl)-3,4-dialkyl-pyrazolones-5 (65-95% yield) (Scheme 1). The synthesis of pyrazolones with the β -cyanoethyl group in position 4 was based on monocyanoethylated acetoacetic ester and the corresponding hydrazines (Scheme 2). According to data by W. Krohs (Ref. 4) 3-methyl-pyrazolone-5 was reacted with β -chloro propionitrile in alkaline medium under conditions which permit a full enolization of pyrazolone (an equivalent amount of sodium in tertiary butyl alcohol) with the formation of two products (X) and (XI) which were separated by fractional crystallization. These compounds had the same empirical formula which corresponds to the monocyanoethylated product. With iron chloride they did not produce the violet color characteristic of the enol form. They differed, however, by their melting points and the solubility in water. A test melting of a mixture of the two products showed no temperature depression. Compounds (X) and (XI) show the same infrared spectra whose lines are characteristic of $C\equiv N$ and $C=N$ (in the ring) whereas the lines of the

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Reactions of Hydrazine Derivatives.XXIX.
Cyanoethylation of Pyrazolones

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B001/B067

carbonyl group are lacking. On the basis of these and further studies the structure of the β -cyanoethyl ethers of 3-methyl-5-oxypyrazole could be ascribed to compounds (X) and (XI), and their difference could be explained by the presence of crystalline modifications (Scheme 3). There are 7 references: 2 Soviet, 2 US, and 3 German. ✓

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State University)

SUBMITTED: July 15, 1959

Card 3/3

TERENT'YEV, A.P.; VIKTOROVA, Ye.A.; YEREL'SON, B.M.; KOST, A.N.;
YERSHOW, V.V.

Inner complex compounds as contact insecticides. Zhur.ob.
khim. 30 no.7:2422-2427 J1 '60. (MIRA 13:7)

1. Moskovskiy gosudarstvennyy universitet.
(Complex compounds) (Insecticides)

S/079/60/030/008/002/008
B004/B064

AUTHORS: Kost, A. N., Terent'yev, A. P., Vinogradova, Ye. V.,
Terent'yev, P. B., Yershov, V. V.

TITLE: Addition of Aromatic Amines and Phenyl Hydrazine⁷ to
2-Methyl-5-vinyl Pyridine ¹

PERIODICAL: Zhurnal obshchey khimii, 1960, Vol. 30, No. 8,
pp. 2556 - 2562

TEXT: 2-methyl-5-vinyl pyridine reacts when heated with aniline in the presence of metallic sodium under the formation of 2-methyl-5-(2-phenyl aminoethyl)-pyridine (I): ✓

$\text{CH}_3-\text{C}_5\text{H}_3\text{N}-\text{CH}=\text{CH}_2 + \text{H}_2\text{NC}_6\text{H}_5 \xrightarrow{\text{Na}} \text{CH}_3-\text{C}_5\text{H}_3\text{N}-\text{CH}_2\text{CH}_2\text{NHC}_6\text{H}_5$. Similar reactions occur with ethyl aniline, o-, m-, and p-toluidine, o- and p-anisidine, with p-compounds reacting more easily. A low yield in the addition product was obtained with β -naphthyl amine. It was not possible to isolate the reaction product with p-phenylene diamine. A decrease in the basicity of the amino group reduces the capability of addition. While

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Addition of Aromatic Amines and Phenyl
Hydrazine to 2-Methyl-5-vinyl Pyridine

S/079/60/030/008/002/008
B004/B064

diphenyl amine, o-nitroaniline, indole, acetamide, and phthalimide react with α -vinyl pyridine, no reaction took place with the β -vinyl pyridine used by the authors. Nor did a reaction take place with acetoacetic ester, ethanol, butanol, phenol, and sodium bisulfite. A by-product with a high boiling point, N,N-bis[2-(2-methylpyridyl-5)-ethyl]-p-toluidine (structural formula 2) was obtained with p-toluidine. Its structure could be proved a) by the lacking N-H absorption band in the infrared spectrum, b) by the impossibility of carrying out acylation. The N-nitroso compound was obtained from I with HNO_2 , which could be reduced to the N-amino compound $\text{CH}_3\text{C}_5\text{H}_3\text{N}-\text{CH}_2\text{CH}_2\text{N}(\text{NH}_2)\text{C}_6\text{H}_5$ (3). Compound 3

was also obtained by direct addition of phenyl hydrazine to 2-methyl-5-vinyl pyridine. Corresponding to a typical aryl hydrazine a re-arrangement according to Fischer takes place in cyclohexanone under the formation of N-[2-(2-methyl pyridyl-5)-ethyl]-1,2,3,4-tetrahydrocarbazole (4). The experimental part lists the synthesis of the following compounds: 2-methyl-5-(2-phenyl aminoethyl)-pyridine; 2-methyl-5-(N-formyl-2-phenyl-aminoethyl)-pyridine; 2-methyl-5-(N-acetyl-2-phenyl aminoethyl)-pyridine;

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Addition of Aromatic Amines and Phenyl
Hydrazine to 2-Methyl-5-vinyl Pyridine

S/079/60/030/008/002/008
B004/E064

2-methyl-5-(N-benzoyl-2-phenyl aminoethyl)-pyridine; 2-methyl-5-(N-nitroso-2-phenyl aminoethyl)-pyridine; 2-methyl-5-(2-o-tolylaminoethyl)-pyridine and the respective N-acetyl compound; the corresponding m- and p-tolylamino compounds; 2-methyl-5-(2-o-anisylamino ethyl)-pyridine; the respective N-acetyl compound and the corresponding p-anisyl amino compounds; 2-methyl-5-(N-ethyl-2-phenyl aminoethyl)-pyridine; 2-methyl-5-[2-(N-p-nitrosophenyl-N-ethyl amino)-ethyl]-pyridine; 2-methyl-5-(2-β-naphthyl aminoethyl)-pyridine; 2-methyl-5-(N-amino-2-phenyl aminoethyl)-pyridine; N-[2-(2-methyl pyridyl-5)-ethyl]-1,2,3,4-tetrahydrocarbazole. The majority of the substances synthesized are highly viscous oils. Experiments made at the Kafedra farmakologii Minskogo meditsinskogo instituta (Chair of Pharmacology of the Minsk Medical Institute) led to the finding that peritoneal injection of the hydrochlorides of these compounds in mice leads to the excitation of the parasympathetic nervous system (muscarine and nicotine effect). The toxicity (LD₁₀₀) is between 300 and 500 mg/kg live weight. Nitroso groups in para position increase the toxicity by its five-fold. Iodomethylates are more toxic than hydrochlorides. There are 4 non-Soviet references. ✓

Card 3/4

Addition of Aromatic Amines and Phenyl
Hydrazine to 2-Methyl-5-vinyl Pyridine

S/079/60/030/008/002/008
B004/B064

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State
University)

SUBMITTED: July 15, 1959

Card 4/4

GRANDBERG, I.I.; KOST, A.N.; SIBIRYAKOVA, D.V.

Pyrazoles. Part 8: Synthesis of furylpyrazoles. Zhur. ob. khim.
30 no.9:2920-2925 S '66. (MIRA 13:9)

1. Moskovskiy gosudarstvennyy universitet.
(Pyrazole)

TERENT'YEV, A.P.; GRADBERG, I.I.; SIBIRYAKOVA, D.V.; KOST, A.N.

Pyrazoles. Part 9: New method of synthesizing pyrazolecarboxylic acids. Zhur. ob. khim. 30 no.9:2925-2931 S '60. (MLA 13:9)

1. Moskovskiy gosudarstvennyy universitet.
(Pyrazolecarboxylic acid)

GRANDBERG, I.I.; KOST, A.N.; ZHELIKOVA, M.N.

Pyrazoles. Part 10: Interaction between pyrazoles and mercury salts.
Zhur. ob. khim. 30 no.9:2931-2941 S '60. (MIRA 13:9)

1. Moskovskiy gosudarstvennyy universitet.
(Pyrazole) (Mercury compounds)

GRANDBERG, I.I.; KOST, A.N.

Pyrazoles. Part 9: Alkylation of pyrazoles. Zhur. ob. khim. 30
no.9:2942-2946 S '60. (MIRA 13:9)

1. Moskovskiy gosudarstvennyy universitet.
(Pyrazole) (Alkylation)

GRANDBERG, I.I.; KOST, A.N.; YAGUZHINSKIY, L.S.

Reactions of hydrazine derivatives. Part 30: Case of an anomalous course of the Fischer reaction in the tetrahydrocarbazole series.
Zhur. ob. khim. 30 no.9:3108-3111 S '60. (MIRA 13:9)

1. Moskovskiy gosudarstvennyy universitet.
(Carbazole) (Cyclohexanone) (Hydrazine)

S/079/60/030/010/017/030
B001/B066

AUTHORS: Kost, A. N., Sagitullin, R. S., Sun' Yuy-shan'

TITLE: Reactions of Hydrazine Derivatives. XXXI. Some β -Aryl-ethyl Hydrazines and Corresponding Pyrazolones

PERIODICAL: Zhurnal obshchey khimii, 1960, Vol. 30, No. 10, pp. 3280 - 3287

TEXT: In recent times, much attention has been paid to the synthesis of aralkyl hydrazines in which the hydrazine group is in the β -position of the side chain. β -phenyl isopropyl hydrazine, for example, reduces blood pressure (Ref.1). β -phenyl ethyl hydrazine (I) was synthesized in a yield of 41% according to Scheme 1:

$C_6H_5CH_2Cl \xrightarrow{N_2H_4} C_6H_5CH_2CH_2NHNH_2 + (C_6H_5CH_2)_2NNH_2$ (I), by direct alkylation of the hydrazine (Ref.2). The authors of the present paper improved this synthesis by using their own method applied to benzyl hydrazine in Ref.3. Reaction took place in an 83% yield, without a solvent and by heating

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The β -aryl-ethyl hydrazines were condensed with ketonic acid esters to obtain 1'-aryl-ethyl pyrazolones-5. The condensation was carried out without any solvent (or in a small quantity of alcohol). After a short heating, the reaction mixture was diluted with a large quantity of ether, and a sufficiently pure crystalline

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Card 2/3

Reactions of Hydrazine Derivatives. XXXI. Some β -Aryl-ethyl Hydrazines and Corresponding Pyrazolones S/079/60/030/010/017/030
B001/B066

pyrazolone was immediately separated out. When treating these pyrazolones with phosphorus oxychloride, no ring formation according to the reaction of Bishler-Napiral'skiy was found to occur, but a conversion of the pyrazolone to chloro-pyrazole. There are 10 references: 1 Soviet, 2 US, 4 German, 1 British, and 2 Czechoslovakian.

ASSOCIATION: Moskovskiy gosudarstvennyy universitet (Moscow State University)

SUBMITTED: December 10, 1959

Card 3/3

KOST, A.N.; SHEYMAN, B.M.; KUSHKOV, V.K.

Esters of ethylene cyanohydrin in the Friedel-Krafts reaction.
Izv.vys.ucheb.zav.; khim.i khim.tekh.. 4 no.1:87-91 '61.

(IRA 14:6)

1. Moskovskiy gosudarstvennyy universitet imeni M.V.Lomonosova,
kafedra organicheskoy khimicheskoy tekhnologii.
(Friedel-Krafts reaction) (Hydracrylonitrile)

GRANDBERG, I.I.; MILOVANOV, S.N.; KOST, A.N.; NETTE, I.T.

Study of pyrazoles. Report No. 21: Biological activity of
pyrazole derivatives. Vest. Mosk. un. Ser. 6: Biol.,
pochv. 16 no.3:27-34 My-Je '61. (MIRA 14:6)

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khimioterapii Vsesoyuznogo nauchno-issledovatel'skogo khimiko-
farmakologicheskogo instituta.
(Pyrazole)
(Antiseptics)